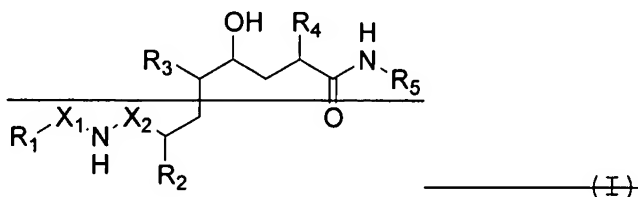


## Listing of Claims

This listing of claims will replace all prior versions and listings of claims in the application.

Claim 1. (currently amended) A method ~~of treating or preventing~~ according to claim 5, wherein the disease is Alzheimer's disease. ~~in a subject in need of such treatment comprising administering a therapeutically effective amount of a compound of Formula (I) or a pharmaceutically acceptable salt thereof.~~



~~wherein R<sub>1</sub> is a 2-R<sub>A</sub>-3-R<sub>B</sub>-phenyl radical, a 2-R<sub>A</sub>-4-R<sub>C</sub>-phenyl radical, a 2-R<sub>A</sub>-pyridin-3-yl radical, a 3-R<sub>A</sub>-pyridin-2-yl radical or a 1-R<sub>B</sub>-indol-3-yl radical,~~

~~wherein one of the radicals R<sub>A</sub> and R<sub>B</sub> is an aliphatic or heterocycloaliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,~~

~~R<sub>C</sub> is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heteroaraliphatically or heteroarylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and~~

~~R<sub>B</sub> is an aliphatic, araliphatic or heteroaliphatic radical,~~

~~one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,~~

~~$R_2$  is an aliphatic radical,~~

~~$R_3$  is unsubstituted or aliphatically substituted amino,~~

~~$R_4$  is an aliphatic or araliphatic radical, and~~

~~$R_5$  is an aliphatic or cycloaliphatic aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatic radical bonded via a carbon atom.~~

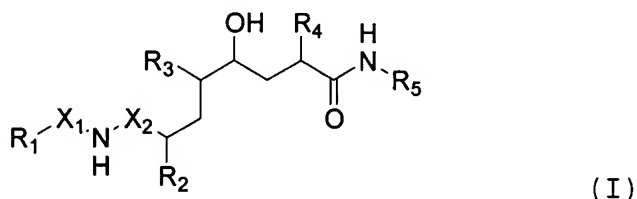
Claim 2. (original) A method of treating Alzheimer's disease in a subject in need of such treatment comprising administering to the subject a compound disclosed in claim 1, or a pharmaceutically acceptable salt thereof.

Claim 3. (original) A method of treating Alzheimer's disease by modulating the activity of beta amyloid converting enzyme, comprising administering to a subject in need of such treatment a compound disclosed in claim 1, or a pharmaceutically acceptable salt thereof.

Claim 4. (original) The method according to claim 1, further comprising the administration of a P-gp inhibitor, or a pharmaceutically acceptable salt thereof.

Claim 5. (original) A method of treating a subject who has, or in preventing a subject from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating subjects with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's

disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch-Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease, dementia associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which includes administration of a therapeutically effective amount of a compound of formula (I), or a pharmaceutically acceptable salt thereof:



wherein  $R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical,

wherein one of the radicals  $R_A$  and  $R_B$  is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

$R_C$  is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heteroaraliphatically or

heteroarylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R<sub>0</sub> is an aliphatic, araliphatic or heteroaliphatic radical,  
one of the radicals X<sub>1</sub> and X<sub>2</sub> is carbonyl and the other is methylene,

R<sub>2</sub> is an aliphatic radical,

R<sub>3</sub> is unsubstituted or aliphatically substituted amino,

R<sub>4</sub> is an aliphatic or araliphatic radical, and

R<sub>5</sub> is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatic radical bonded via a carbon atom.

Claim 6. (currently amended) The method according to claim 5 ~~any of claim 1-5~~ wherein the compound of formula (I) is selected from the group consisting of:

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-3-methoxy-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-4-methoxy-2-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-3-(3-methoxypropoxy)-benzamide;

(2S,4S,5S,7R)-N-(7-Butylcarbamoyl-4-formylamino-5-hydroxy-2-isopropyl-octyl)-3-methoxy-2-(3-methoxypropoxy)-benzamide;

(2R,4S,5S,7R)-1-Benzyl-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R,4S,5S,7R)-1-(2-Methoxyethyl)-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R, 4S, 5S, 7R) -1-Pyridin-2-yl-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R, 4S, 5S, 7R) -1-(2-Methoxybenzyl)-1H-indole-3-carboxylic acid N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-amide;

(2R, 4S, 5S, 7R) -N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2R, 4S, 5S, 7R) -N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-methyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2R, 4S, 5S, 7R) -N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-methyl-octyl)-2-(3-methoxypropoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(3-methoxypropoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-propoxy-benzamide;

(2S, 4S, 5S, 7S) -N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(2-methoxyethoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-[2-(2-methoxyethoxy)-ethoxy]-benzamide;

(2S, 4S, 5S, 7S) -N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-methoxy-2-(3-methoxypropoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-methoxy-3-(3-methoxypropoxy)-benzamide;

4S, 5S, 7S) -N-(4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(propoxymethyl)-benzamide;

4S, 5S, 7S) -N- (4-amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2-acetamido-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [2- (acetamido) -ethoxy] -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybut-2-enoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4-methyl-benzamide;

(2S, 4S, 5S, 7S) -N- [4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl] -2- (3-methoxypropoxy) -nicotinamide;

(2S, 4S, 5S, 7S) -N- [4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl] -3- (4-methoxybutoxy) -pyridine-2-carboxylic acid amide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2-hydroxy-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [2- (methoxymethoxy) -ethoxy] -benzamide;

(2S, 4S, 5S, 7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7- (2-morpholin-4-ylethylcarbamoyl) -nonyl] -2- (3-methoxypropoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7- (2-morpholin-4-ylethylcarbamoyl) -nonyl] -2- (4-methoxybutoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7- (2-morpholin-4-ylethylcarbamoyl) -nonyl] -2- (2-methoxyethoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- [4-Amino-5-hydroxy-2-isopropyl-8-methyl-7- (2-morpholin-4-ethylcarbamoyl) -nonyl] -2- (3-methoxypropoxy) -nicotinamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-3-(4-methoxybutoxy)-pyridine-2-carboxylic acid amide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(4-methoxybut-2-enoxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-nonyl]-2-(4-methoxybutoxy)-4-methyl-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-morpholin-4-ylethylcarbamoyl)-methyl-nonyl]-2-(5-methoxypentyloxy)-benzamide;

(2S,4S,5S,7S)-N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(3-morpholin-4-ylpropylcarbamoyl)-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(morpholin-4-ylmethyl)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl-2-(4-methoxybutoxy)-4-[2-(morpholin-4-yl)-ethoxy]-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-4-[3-(dimethylamino)-propoxy]-2-(4-methoxybutoxy)-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(piperidin-1-yl)methyl-benzamide;

(2S,4S,5S,7S)-N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(pyrrolidin-1-yl)methyl-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4- (2-piperidin-1-ylethoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -4-dimethylaminomethyl-2- (4-methoxybutoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4- (4-methylpiperazin-1-yl)methyl-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -4- (4-acetylpiperazin-1-yl)methyl-2- (4-methoxybutoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (3-aminopropoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (2-aminoethoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [2- (4-acetylpiperazin-1-yl) -ethoxy] -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [2- (morpholin-4-yl) -ethyl] -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (3-dimethylaminopropoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [3- (morpholin-4-yl) -propoxy] -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [2- (morpholin-4-yl) -ethoxy] -benzamide;



(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [2 (4-methoxypiperidin-1-yl) -ethyl] -benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- [2 (4-acetylpiperazin-1-yl) -ethyl] -benzamide;

(2S, 4S, 5S, 7S) -4-Amino-5-hydroxy-2, 7-diisopropyl-octanedioic acid 8-butylamide 1-[2- (3-methoxypropoxy) -benzyl] amide;

(2S, 4S, 5S, 7S) -4-Amino-5-hydroxy-2, 7-diisopropyl-octanedioic acid 8-butylamide 1-[3- (3-methoxypropoxy) -benzyl] amide;

(2S, 4S, 5S, 7S) -4-Amino-5-hydroxy-2, 7-diisopropyl-octandioic acid 8-butylamide 1-[2- (4-methoxybutoxy) -benzyl] amide;

(2S, 4S, 5S, 7S) -4-Amino-5-hydroxy-2, 7-diisopropyl-octandioic acid 8-butylamide 1-[2- (5-methoxypentyloxy) -benzyl] amide;

(2S, 4S, 5S, 7S) -N1- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -N4-methyl-2- (4-methoxybutoxy) -terephthaldiamide;

(2S, 4S, 5S, 7S) -N1- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -N4- [ (2-morpholin-4-yl) -ethyl] -2- (4-methoxybutoxy) -terephthaldiamide;

(2S, 4S, 5S, 7S) -N1- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -terephthaldiamide;

(2S, 4S, 5S, 7S) -N4- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -3- (4-methoxybutoxy) -terephthalmic acid;

(2S, 4S, 5S, 7S) -N- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl) -4-butylcarbamoylmethoxy-2- (4-methoxybutoxy) -benzamide;

(2S, 4S, 5S, 7S) - [4- (4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonylcarbamoyl) -3- (4-methoxybutoxy) -phenoxy] -acetic acid;

(2S, 4S, 5S, 7S) -N-{4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[2-(morpholin-4-yl)-ethylcarbamoyl]-nonyl}-2-(4-methoxybutoxy)-4-[2-(morpholin-4-yl)-ethylcarbamoylmethoxy]-benzamide;

(2S, 4S, 5S, 7S) -N-(4-Amino-7-butylcarbamoyl-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(1H-tetrazol-5-ylmethoxy)-benzamide;

(2S, 4S, 5S, 7S, 2R') -N-[4-Amino-7-(2'-methylcarbamoyl-propylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-(4-Amino-7-[2-(dimethylaminocarbamoyl)-ethylcarbamoyl]-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-[4-Amino-7-(3-carbamoylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-[4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-{4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-[3-(morpholin-4-yl)-3-oxopropylcarbamoyl]-nonyl}-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-{7-[2-(4-Acetylpiperidin-1-yl)-ethylcarbamoyl]-4-amino-5-hydroxy-2-isopropyl-8-methyl-nonyl}-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-[4-Amino-5-hydroxy-2-isopropyl-8-methyl-7-(2-thiomorpholin-4-ylethylcarbamoyl)-methyl-nonyl]-2-(4-methoxybutoxy)-benzamide;

(2S, 4S, 5S, 7S) -N-(4-Amino-7-(2-carbamoyl-2-methylpropylcarbamoyl)-5-hydroxy-2-isopropyl-8-methyl-nonyl)-2-(4-methoxybutoxy)-4-(2-morpholin-4-ylmethoxy)-benzamide;

(2S, 4S, 5S, 7S) -N- (4-Amino-7- (2-carbamoyl-2-methylpropylcarbamoyl) -5-hydroxy-2-isopropyl-8-methyl-nonyl) -2- (4-methoxybutoxy) -4- (morpholin-4-ylmethyl) -benzamide;

(2S, 4S, 5S, 7S) -N- [4-Amino-7- (2-carbamoyl-2-methylpropylcarbamoyl) -5-hydroxy-2-isopropyl-8-methyl-nonyl] -2- (2-morpholin-4-ylethoxy) -benzamide;

(2S, 4S, 5S, 7S) -N- {4-Amino-5-hydroxy-2-isopropyl-7- [2- (4-methoxycarbonylpiperidin-1-yl) -ethylcarbamoyl] -8-methyl-nonyl} -2- (4-methoxybutoxy) -benzamide;

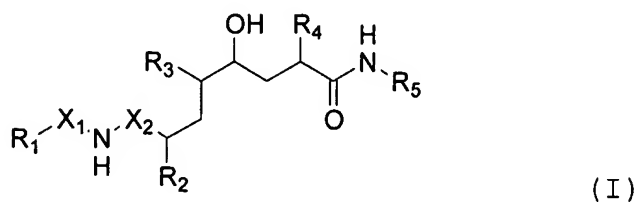
(2S, 4S, 5S, 7R) -N- [4-Amino-5-hydroxy-2-methyl-7- [ (2-morpholin-4-ylethyl) -carbamoyl] -octyl] -2- (3-methoxypropoxy) -benzamide; and

(2S, 4S, 5S, 7S) -N- {4-Amino-5-hydroxy-2-isopropyl-8-methyl-7- [2- (morpholin-4-yl) -ethyl-carbamoyl] -nonyl} -4-carbamoylmethoxy-2- (4-methoxybutoxy) -benzamide;

or pharmaceutically acceptable salts thereof.

Claims 7-8 (cancelled)

Claim 9. (original) A method for inhibiting beta-secretase activity, comprising contacting an effective amount for inhibition of a compound of formula (I):



wherein R<sub>1</sub> is a 2-R<sub>A</sub>-3-R<sub>B</sub>-phenyl radical, a 2-R<sub>A</sub>-4-R<sub>C</sub>-phenyl radical, a 2-R<sub>A</sub>-pyridin-3-yl radical a 3-R<sub>A</sub>-pyridin-2-yl radical or a 1-R<sub>D</sub>-indol-3-yl radical,

wherein one of the radicals  $R_A$  and  $R_B$  is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

$R_C$  is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical, one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

$R_2$  is an aliphatic radical,

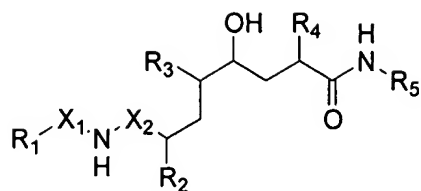
$R_3$  is unsubstituted or aliphatically substituted amino,

$R_4$  is an aliphatic or araliphatic radical, and

$R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

Claim 10. (cancelled)

Claim 11. (original) A method for inhibiting production of amyloid beta peptide (A beta) in a cell, comprising administering to said cell an effective inhibitory amount of a compound of formula (I):



(I)

wherein R<sub>1</sub> is a 2-R<sub>A</sub>-3-R<sub>B</sub>-phenyl radical, a 2-R<sub>A</sub>-4-R<sub>C</sub>-phenyl radical, a 2-R<sub>A</sub>-pyridin-3-yl radical a 3-R<sub>A</sub>-pyridin-2-yl radical or a 1-R<sub>D</sub>-indol-3-yl radical,

wherein one of the radicals R<sub>A</sub> and R<sub>B</sub> is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

R<sub>C</sub> is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heterearaliphatically or heterearylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

R<sub>D</sub> is an aliphatic, araliphatic or heteroaliphatic radical, one of the radicals X<sub>1</sub> and X<sub>2</sub> is carbonyl and the other is methylene,

R<sub>2</sub> is an aliphatic radical,

R<sub>3</sub> is unsubstituted or aliphatically substituted amino,

R<sub>4</sub> is an aliphatic or araliphatic radical, and

R<sub>5</sub> is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom.

Claim 12. (original) The method of claim 11, wherein the cell is an animal cell.

Claim 13. (original) The method of claim 12, wherein the animal cell is a mammalian cell.

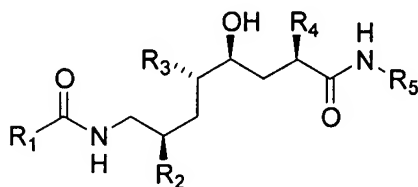
Claim 14. (original) The method of claim 13, wherein the mammalian cell is human.

Claim 15-19. (cancelled)

Claim 20. (currently amended) A method of treatment according to claim 5 ~~any of claims 1-5~~, further comprising administration of one or more therapeutic agents selected from the group consisting of an antioxidant, an anti-inflammatory, a gamma secretase inhibitor, a neurotrophic agent, an acetyl cholinesterase inhibitor, a statin, an A beta peptide, and an anti-A beta peptide.

Claim 21. (cancelled)

Claim 22. (currently amended) A method of ~~treating or preventing Alzheimer's disease in a subject in need of such treatment comprising administering a therapeutically effective amount of a compound of~~ according to claim 1 where the compound is represented by Formula (I-A) or a pharmaceutically acceptable salt thereof:



(I-A)

wherein  $R_1$  is a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical or a 3- $R_A$ -pyridin-2-yl radical, wherein

$R_A$ , is  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkyl, such as propyloxymethyl, morpholino- $C_1$ - $C_4$  alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl,  $C_1$ - $C_7$  alkanoylpiperazino- $C_1$ - $C_4$  alkyl, such as N'-acetylpiperazinomethyl,  $C_1$ - $C_7$  alkoxy, such as propyloxy,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkoxy, such as 2-methoxyethoxy, 3-methoxypropyloxy, 4-methoxybutyloxy or 5-methoxypentyloxy,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkenyloxy, such as 4-methoxy-but-2-enyloxy,  $C_1$ - $C_4$  alkoxy- $C_1$   $C_4$  alkoxy, such as 2-(methoxymethoxy)ethoxy or 2-(2-methoxyethoxy)ethoxy, amino- $C_1$ - $C_4$  alkoxy, such as 2-aminoethoxy or 3-aminopropyloxy, di- $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkoxy, such as 3-dimethylaminopropyloxy, carbamoyl- $C_1$ - $C_4$  alkoxy, such as 2-carbamoylethoxy, or carbamoyl, and

$R_C$  is hydrogen, di- $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkyl, such as dimethylaminomethyl, piperidino- $C_1$ - $C_4$  alkyl, such as piperidinomethyl, pyrrolidino- $C_1$ - $C_4$  alkyl, such as pyrrolidinomethyl, morpholino- $C_1$ - $C_4$  alkyl, such as morpholinomethyl,  $C_1$ - $C_7$  alkanoylpiperazino- $C_1$ - $C_4$  alkyl, such as N'-acetylpiperazinomethyl, or  $C_1$ - $C_4$  alkylpiperazino- $C_1$ - $C_4$  alkyl, such as N'-methylpiperazinomethyl, morpholino,  $C_1$ - $C_4$  alkoxy, such as methoxy, morpholino- $C_1$ - $C_4$  alkoxy, such as 2-morpholinoethoxy or 3-morpholinopropyloxy, morpholino- $C_1$ - $C_4$  alkylcarbamoyl- $C_1$ - $C_4$  alkoxy, such as 2-morpholinoethylcarbamoylmethoxy, piperidino- $C_1$ - $C_4$  alkoxy, such as 2-piperidinoethoxy, carboxy, carbamoyl,  $C_1$ - $C_4$  alkylcarbamoyl, such as methylcarbamoyl, carboxy- $C_1$ - $C_4$  alkoxy, such as carboxymethoxy, di- $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkoxy, such as 3-dimethylaminopropyloxy,  $C_1$ - $C_7$  alkylcarbamoyl- $C_1$ - $C_4$  alkoxy, such as butylcarbamoylmethoxy, or tetrazolyl- $C_1$ - $C_4$  alkoxy, such as tetrazol-5-ylmethoxy,

$X_1$  is carbonyl and  $X_2$  is methylene,

R<sub>2</sub> and R<sub>4</sub> are each independently of the other C<sub>1</sub>-C<sub>4</sub> alkyl, such as methyl or isopropyl,

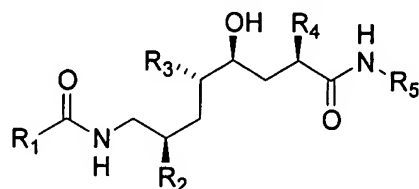
R<sub>3</sub> is amino and

R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, such as butyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-thiomorpholinoethyl, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-morpholinocarbonylethyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 3-carbamoylpropyl or 2-carbamoyl-2-methyl-ethyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-methylcarbamoyl-2-methyl-ethyl, di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-dimethylcarbamoylethyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-methylpiperazinomethyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy carbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-methoxycarbonylpiperazinomethyl, or N'-C<sub>1</sub>-C<sub>7</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-acetylpiperazinomethyl.

Claim 23. (currently amended) A method according to claim 20, wherein the compound is represented by ~~of treating a subject who has, or in preventing a subject from getting, a disease or condition selected from the group consisting of Alzheimer's disease, for helping prevent or delay the onset of Alzheimer's disease, for treating subjects with mild cognitive impairment (MCI) and preventing or delaying the onset of Alzheimer's disease in those who would progress from MCI to AD, for treating Down's syndrome, for treating humans who have Hereditary Cerebral Hemorrhage with Amyloidosis of the Dutch Type, for treating cerebral amyloid angiopathy and preventing its potential consequences, i.e. single and recurrent lobar hemorrhages, for treating other degenerative dementias, including dementias of mixed vascular and degenerative origin, dementia associated with Parkinson's disease,~~



~~associated with progressive supranuclear palsy, dementia associated with cortical basal degeneration, or diffuse Lewy body type of Alzheimer's disease and who is in need of such treatment which includes administration of a therapeutically effective amount of a compound of formula (I-A), or a pharmaceutically acceptable salt thereof:~~



(I-A)

wherein  $R_1$  is a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical or a 3- $R_A$ -pyridin-2-yl radical, wherein

$R_A$ , is  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkyl, such as propyloxymethyl, morpholino- $C_1$ - $C_4$  alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl,  $C_1$ - $C_7$  alkanoylpiperazino- $C_1$ - $C_4$  alkyl, such as N'-acetylpiperazinomethyl,  $C_1$ - $C_7$  alkoxy, such as propyloxy,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkoxy, such as 2-methoxyethoxy, 3-methoxypropyloxy, 4-methoxybutyloxy or 5-methoxypentyloxy,  $C_1$ - $C_4$  alkoxy- $C_1$ - $C_4$  alkenyloxy, such as 4-methoxy-but-2-enyloxy,  $C_1$ - $C_4$  alkoxy- $C_1$   $C_4$  alkoxy, such as 2-(methoxymethoxy)ethoxy or 2-(2-methoxyethoxy)ethoxy, amino- $C_1$ - $C_4$  alkoxy, such as 2-aminoethoxy or 3-aminopropyloxy, di- $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkoxy, such as 3-dimethylaminopropyloxy, carbamoyl- $C_1$ - $C_4$  alkoxy, such as 2-carbamoylethoxy, or carbamoyl, and

$R_C$  is hydrogen, di- $C_1$ - $C_4$  alkylamino- $C_1$ - $C_4$  alkyl, such as dimethylaminomethyl, piperidino- $C_1$ - $C_4$  alkyl, such as piperidinomethyl, pyrrolidino- $C_1$ - $C_4$  alkyl, such as pyrrolidinomethyl, morpholino- $C_1$ - $C_4$  alkyl, such as morpholinomethyl,  $C_1$ - $C_7$  alkanoylpiperazino- $C_1$ - $C_4$  alkyl, such as N'-acetylpiperazinomethyl, or  $C_1$ - $C_4$  alkylpiperazino- $C_1$ - $C_4$  alkyl,

such as N'-methylpiperazinomethyl, morpholino, C<sub>1</sub>-C<sub>4</sub> alkoxy, such as methoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-morpholinoethoxy or 3-morpholinopropoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-morpholinoethylcarbamoylmethoxy, piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-piperidinoethoxy, carboxy, carbamoyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl, such as methylcarbamoyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as carboxymethoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 3-dimethylaminopropoxy, C<sub>1</sub>-C<sub>7</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as butylcarbamoylmethoxy, or tetrazolyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as tetrazol-5-ylmethoxy,

X<sub>1</sub> is carbonyl and X<sub>2</sub> is methylene,

R<sub>2</sub> and R<sub>4</sub> are each independently of the other C<sub>1</sub>-C<sub>4</sub> alkyl, such as methyl or isopropyl,

R<sub>3</sub> is amino and

R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, such as butyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-morpholinoethyl or 3-morpholinopropyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-thiomorpholinoethyl, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-morpholinocarbonylethyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 3-carbamoylpropyl or 2-carbamoyl-2-methyl-ethyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-methylcarbamoyl-2-methyl-ethyl, di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, such as 2-dimethylcarbamoylethyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-methylpiperazinomethyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-methoxycarbonylpiperazinomethyl, or N'-C<sub>1</sub>-C<sub>7</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, such as N'-acetylpiperazinomethyl.

Claim 24. (cancelled)

Claim 25. (original) A method according to claim 5, wherein

$R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical, a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical, wherein

one of the radicals  $R_A$  and  $R_B$  is an aliphatic or heterocycloaliphatic-aliphatic radical or free or aliphatically, araliphatically or heteroaraliphatically etherified hydroxy and the other is hydrogen, an aliphatic radical or free or esterified or amidated carboxy,

$R_C$  is hydrogen, an aliphatic radical, free or aliphatically, araliphatically, heteroaraliphatically or heteroarylaliphatically etherified hydroxy or an unsubstituted or heteroaliphatically substituted amino group, and

$R_D$  is an aliphatic, araliphatic or heteroaliphatic radical, one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

$R_2$  is an aliphatic radical,

$R_3$  is unsubstituted or aliphatically substituted amino,

$R_4$  is an aliphatic or araliphatic radical, and

$R_5$  is an aliphatic or cycloaliphatic-aliphatic radical or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or an optionally hydrogenated and/or oxo-substituted heteroaryl or heteroaliphatyl radical bonded via a carbon atom, or a pharmaceutically acceptable salt thereof.

Claim 26. (original) The method according to claim 25, wherein

$R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical, a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical,

wherein one of the radicals  $R_A$  and  $R_B$  is lower alkyl, hydroxy-lower alkyl, lower alkanoyloxy-lower alkyl, lower

alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl; an amino-lower alkyl or amino-lower alkoxy radical that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di lower alkylated or N,N-disubstituted by lower alkylene, hydroxy-, lower alkoxy- or lower alkoxy-lower alkoxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxycarbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkanoyloxy-lower alkoxy, lower alkoxy-lower alkoxy, lower alkoxy-lower alkoxy-lower alkoxy, polyhalo-lower alkoxy, cyano-lower alkoxy, unsubstituted or substituted phenyl- or pyridyl-lower alkoxy, lower alkoxy-lower alkenyloxy, optionally S-oxidised lower alkylthio-lower alkoxy, or amino-lower alkoxy that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di-lower alkylated or N,N-disubstituted by lower alkylene, hydroxy-, lower alkoxy- or lower alkoxy-lower alkoxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxycarbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; and the other is hydrogen, lower alkyl, carbamoyl, hydroxy, lower alkoxy or polyhalo-lower alkoxy,

R<sub>C</sub> is hydrogen, lower alkyl, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, morpholino-lower alkylcarbamoyl-lower alkoxy, lower alkoxy-lower alkoxy-lower alkyl; an amino, amino-lower alkyl or amino-lower alkoxy group that is unsubstituted or N-lower alkanoylated or N-mono- or N,N-di-lower alkylated or N,N-disubstituted by lower alkylene, hydroxy-, lower alkoxy-, lower alkoxycarbonyl- or lower alkoxy-lower alkoxy-lower alkylene, by unsubstituted or

N'-lower alkanoylated, lower alkoxy-carbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; or a free or amidated carboxy or carboxy-lower alkoxy group or tetrazolyl-lower alkoxy, and

R<sub>D</sub> is lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, hydroxy-lower alkoxy-lower alkyl, a free or amidated carboxy or carboxy-lower alkyl group or an unsubstituted or substituted phenyl- or pyridyl-lower alkyl group, one of the radicals X<sub>1</sub> and X<sub>2</sub> is carbonyl and the other is methylene,

R<sub>2</sub> is lower alkyl,

R<sub>3</sub> is unsubstituted or N-lower alkanoylated or N-mono- or N, N-di-lower alkylated amino,

R<sub>4</sub> is lower alkyl or phenyl-lower alkyl, and

R<sub>5</sub> is lower alkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkanoyloxy-lower alkyl; amino-lower alkyl that is unsubstituted or N-lower alkanoylated or N-mono- or N, N-di-lower alkylated or N, N-disubstituted by lower alkylene, hydroxy-, lower alkoxy-, lower alkoxy-lower alkyl- or lower alkanoyloxy-lower alkylene, by unsubstituted or N'-lower alkanoylated, lower alkoxy-carbonyl- or lower alkoxy-lower alkyl-N'-substituted or N'-lower alkylated aza-lower alkylene, by oxa-lower alkylene or by optionally S-oxidised thia-lower alkylene; free or esterified or amidated carboxy-lower alkyl, cyano-lower alkyl, free or esterified or amidated dicarboxy-lower alkyl, free or esterified or amidated carboxy(hydroxy)-lower alkyl, free or esterified or amidated carboxycycloalkyl-lower alkyl, lower alkanesulfonyl-lower alkyl, unsubstituted or N-mono- or N, N-di-lower alkylated thio carbamoyl-lower alkyl, unsubstituted or N-mono- or N, N-di-lower

alkylated sulfamoyl-lower alkyl or an optionally hydrogenated and/or oxo-substituted heteroaryl radical or lower alkyl substituted by an optionally hydrogenated and/or oxo-substituted heteroaryl radical that is bonded via a carbon atom,  
or a pharmaceutically acceptable salt thereof.

Claim 27. (original) A method according to claim 25 wherein,

$R_1$  is a 2- $R_A$ -3- $R_B$ -phenyl radical, a 2- $R_A$ -4- $R_C$ -phenyl radical, a 2- $R_A$ -pyridin-3-yl radical, a 3- $R_A$ -pyridin-2-yl radical or a 1- $R_D$ -indol-3-yl radical, wherein

one of the radicals  $R_A$  and  $R_B$  is lower alkyl, hydroxy-lower alkyl, lower alkanoyloxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, amino-lower alkyl, lower alkanoylamino-lower alkyl, lower alkylamino-lower alkyl, di-lower alkylamino-lower alkyl; piperidino- or pyrrolidino-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkyl, optionally S-oxidised thiomorpholino-lower alkyl, amino-lower alkoxy, lower alkanoylamino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy; piperidino- or pyrrolidino-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkoxy, optionally S-oxidised thiomorpholio-lower alkoxy, hydroxy, lower

alkoxy, hydroxy-lower alkoxy, lower alkanoyloxy-lower alkoxy, lower alkoxy-lower alkoxy, lower alkoxy-lower alkoxy-lower alkoxy, polyhalo-lower alkoxy, cyano-lower alkoxy; phenyl- or pyridyl-lower alkoxy that is unsubstituted or substituted by lower alkyl, lower alkoxy, hydroxy, nitro, amino, lower alkylamino, di-lower alkylamino, halogen and/or by trifluoromethyl; lower alkoxy-lower alkenyloxy, lower alkylthio-lower alkoxy, lower alkanesulfinyl-lower alkoxy, lower alkanesulfonyl-lower alkoxy, amino-lower alkoxy, lower alkanoylamino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy; piperidino- or pyrrolidino-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkoxy or optionally S-oxidised thiomorpholino-lower alkoxy, and the other is hydrogen, carbamoyl, hydroxy, lower alkoxy or polyhalo-lower alkoxy,

R<sub>C</sub> is hydrogen, lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, amino-lower alkyl, lower alkanoylamino-lower alkyl, lower alkylamino-lower alkyl, di-lower alkylamino-lower alkyl; piperidino- or pyrrolidino-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkyl, optionally S-oxidised thiomorpholino-lower alkyl, di-lower alkylamino; a piperidino or pyrrolidino group that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino

that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxy-carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino, optionally S-oxidised thiomorpholino, hydroxy, lower alkoxy, hydroxy-lower alkoxy, lower alkoxy-lower alkoxy, morpholino-lower alkyl-carbamoyl-lower alkoxy, amino-lower alkoxy, lower alkanoylamino-lower alkoxy, lower alkylamino-lower alkoxy, di-lower alkylamino-lower alkoxy; piperidino- or pyrrolidino-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazino-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxy-carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholino-lower alkoxy, optionally S-oxidised thiomorpholino-lower alkoxy, carboxy-lower alkoxy, carbamoyl-lower alkoxy, lower alkyl-carbamoyl-lower alkoxy, di-lower alkyl-carbamoyl-lower alkoxy; piperidino- or pyrrolidino-carbonyl-lower alkoxy that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkoxy that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxy-carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkoxy, optionally S-oxidised thiomorpholinocarbonyl-lower alkoxy, tetrazolyl-lower alkoxy, carboxy, carbamoyl, lower alkyl-carbamoyl or di-lower alkyl-carbamoyl, and R<sub>b</sub> is lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkoxy-lower alkoxy-lower alkyl, hydroxy-lower alkoxy-lower alkyl, carboxy, lower alkoxy-carbonyl, carboxy-lower alkyl, lower alkoxy-carbonyl-lower alkyl, carbamoyl-lower alkyl, lower alkyl-carbamoyl-lower alkyl, di-lower alkyl-carbamoyl-lower alkyl;



piperidino- or pyrrolidino-carbonyl-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkyl, optionally S-oxidised thiomorpholinocarbonyl-carbonyl-lower alkyl, carboxy-lower alkyl, lower alkoxycarbonyl-lower alkyl or a phenyl- or pyridyl-lower alkyl group that is unsubstituted or substituted by lower alkyl, lower alkoxy, hydroxy, nitro, amino, lower alkylamino, di-lower alkylamino, halogen and/or by trifluoromethyl,

one of the radicals  $X_1$  and  $X_2$  is carbonyl and the other is methylene,

$R_2$  is lower alkyl,

$R_3$  is amino, lower alkanoylamino, lower alkylamino or di-lower alkylamino,

$R_4$  is lower alkyl or phenyl-lower alkyl and

$R_5$  is lower alkyl, cycloalkyl-lower alkyl, hydroxy-lower alkyl, lower alkoxy-lower alkyl, lower alkanoyloxy-lower alkyl; piperidino- or pyrrolidino-carbonyl-lower alkyl that is unsubstituted or substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxycarbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkyl, optionally S-oxidised thiomorpholinocarbonyl-lower alkyl, carboxy-lower alkyl, lower alkoxycarbonyl-lower alkyl, carbamoyl-lower alkyl, lower alkylcarbamoyl-lower alkyl, di-lower alkylcarbamoyl-lower alkyl; piperidino- or pyrrolidinocarbonyl-lower alkyl that is unsubstituted or

substituted by hydroxy, lower alkoxy or by lower alkoxy-lower alkyl; piperazinocarbonyl-lower alkyl that is unsubstituted or N'-lower alkylated, N'-lower alkanoylated or N'-substituted by lower alkoxy carbonyl or by lower alkoxy-lower alkyl; unsubstituted or lower alkylated morpholinocarbonyl-lower alkyl, optionally S-oxidised thiomorpholinocarbonyl-lower alkyl, cyano-lower alkyl, dicarboxy-lower alkyl, lower alkoxy carbonyl(carbonyl)-lower alkyl, di-lower alkoxy carbonyl-lower alkyl, dicarbamoyl-lower alkyl, carbamoyl(carboxy)-lower alkyl, di-(lower alkyl carbamoyl)-lower alkyl, di-(di-lower alkyl carbamoyl)-lower alkyl, carboxy(hydroxy)-lower alkyl, lower alkoxy carbonyl(hydroxy)-lower alkyl, carbamoyl(hydroxy)-lower alkyl, lower alkyl carbamoyl(hydroxy)-lower alkyl or di-lower alkyl carbamoyl(hydroxy)-lower alkyl, carboxycycloalkyl-lower alkyl, lower alkoxy carbonylcycloalkyl-lower alkyl, carbamoylcycloalkyl-lower alkyl, lower alkyl carbamoylcycloalkyl-lower alkyl, di-lower alkyl carbamoylcycloalkyl-lower alkyl, lower alkanesulfonyl-lower alkyl, thiocarbamoyl-lower alkyl, N-lower alkylthiocarbamoyl-lower alkyl or N,N-di-lower alkylthiocarbamoyl-lower alkyl, sulfamoyl-lower alkyl, lower alkylsulfamoyl-lower alkyl or di-lower alkylsulfamoyl-lower alkyl, unsubstituted or oxo-substituted pyrrolidinyl, imidazolyl, benzimidazolyl, oxadiazolyl, pyridyl, oxopiperidinyl, dioxopiperidinyl, oxothiazolyl, oxo-oxazolinyll or quinolinyl, unsubstituted or oxo-substituted pyrrolidinyl-lower alkyl, imidazolyl-lower alkyl, benzimidazolyl-lower alkyl, oxadiazolyl-lower alkyl, pyridyl-lower alkyl, oxopiperidinyl-lower alkyl, dioxopiperidinyl-lower alkyl, oxothiazolyl-lower alkyl, oxo-oxazolinyll-lower alkyl or quinolinyl-lower alkyl, morpholinocarbonyl-lower alkyl or unsubstituted or N-lower

alkanoylated piperidyl-lower alkyl or unsubstituted or N-lower alkanoylated piperidyl,  
or a pharmaceutically acceptable salt thereof.

Claim 28. (original) A method according to claim 25 wherein,

R<sub>1</sub> is a 2-R<sub>A</sub>-3-R<sub>B</sub>-phenyl radical, a 2-R<sub>A</sub>-4-R<sub>C</sub>-phenyl radical, a 2-R<sub>A</sub>-pyridin-3-yl radical, a 3-R<sub>A</sub>-pyridin-2-yl radical or a 1-R<sub>D</sub>-indol-3-yl radical, wherein

one of the radicals R<sub>A</sub> and R<sub>B</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkanoyloxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, amino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub>-alkyl, hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-carbonylpiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub>-alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-carbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S-oxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S,S-dioxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkoxy, such as propyloxy, amino-C<sub>1</sub>-C<sub>7</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>-alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub>-

alkoxy-pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>  
 alkoxy-pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub>  
 alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub>  
 alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-carbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub>  
 alkoxy-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy  
 or C<sub>1</sub>-C<sub>4</sub> alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, thiomorpholino-C<sub>1</sub>-C<sub>4</sub>  
 alkoxy, S-oxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, S,S-  
 dioxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy, hydroxy-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
 C<sub>1</sub>-C<sub>4</sub> alkanoyloxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub>  
 alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, polyhalo-C<sub>1</sub>-C<sub>4</sub> alkoxy, cyano-C<sub>1</sub>-  
 C<sub>4</sub> alkoxy, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 2-carbamoylethoxy;  
 phenyl- or pyridyl-C<sub>1</sub>-C<sub>4</sub> alkoxy that is unsubstituted or  
 substituted by C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy, nitro, amino,  
 C<sub>1</sub>-C<sub>4</sub> alkylamino, di-C<sub>1</sub>-C<sub>4</sub> alkylamino, halogen and/or by  
 trifluoromethyl; C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkenyloxy, C<sub>1</sub>-C<sub>4</sub> alkylthio-C<sub>1</sub>-  
 C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanesulfinyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanesulfonyl-  
 C<sub>1</sub>-C<sub>4</sub> alkoxy, amino-C<sub>1</sub>-C<sub>7</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
 C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
 piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub>  
 alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>  
 alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
 hydroxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-pyrrolidino-C<sub>1</sub>-C<sub>4</sub>  
 alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
 piperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
 N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub>  
 alkoxy-carbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>  
 alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy or C<sub>1</sub>-C<sub>4</sub>  
 alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy or thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, and  
 the other is hydrogen, carbamoyl, C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy, C<sub>1</sub>-C<sub>4</sub>  
 alkoxy or trihalo-C<sub>1</sub>-C<sub>4</sub> alkoxy, R<sub>c</sub> is hydrogen, hydroxy, di-C<sub>1</sub>-C<sub>4</sub>  
 alkylamino, piperidino, pyrrolidino, morpholino, thiomorpholino,

S-oxythiomorpholino, S,S-dioxythiomorpholino, C<sub>1</sub>-C<sub>4</sub> alkoxy,  
 hydroxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub>  
 alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl,  
 amino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub>  
 alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl;  
 piperidino- or pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl that is unsubstituted or  
 substituted by hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy or by C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>  
 alkyl; amino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub>  
 alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl,  
 piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub>  
 alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-  
 C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl,  
 pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub>  
 alkoxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>  
 alkoxypyrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub>  
 alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub>  
 alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub>  
 alkoxy-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl,  
 C<sub>1</sub>-C<sub>4</sub> alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S-  
 oxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S,S-dioxythiomorpholino-C<sub>1</sub>-C<sub>4</sub>  
 alkyl, amino-C<sub>1</sub>-C<sub>7</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub>  
 alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
 piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub>  
 alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>  
 alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
 hydroxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxypyrrolidino-C<sub>1</sub>-C<sub>4</sub>  
 alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
 piperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy,  
 N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub>  
 alkoxycarbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>  
 alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy or C<sub>1</sub>-C<sub>4</sub>

alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, S-oxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, S,S-dioxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, carboxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub>-alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, such as 3-dimethylaminopropoxy, piperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxypiperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxypiperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypiperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, pyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxypiperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxypyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperazinocarbonyl or N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylmorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, thiomorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, S-oxythiomorpholinocarbonyl, S,S-dioxythiomorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, tetrazolyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, carboxy, carbamoyl or C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl, such as methylcarbamoyl, and

R<sub>D</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, carboxy, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>

alkoxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S-oxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S,S-dioxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, or is phenyl-C<sub>1</sub>-C<sub>4</sub> alkyl or pyridyl-C<sub>1</sub>-C<sub>4</sub> alkyl that is unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy, nitro, amino, C<sub>1</sub>-C<sub>4</sub> alkylamino, di-C<sub>1</sub>-C<sub>4</sub> alkylamino, halogen and/or by trifluoromethyl,

one of the radicals X<sub>1</sub> and X<sub>2</sub> is carbonyl and the other is methylene,

R<sub>2</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl,

R<sub>3</sub> is amino, C<sub>1</sub>-C<sub>4</sub> alkanoylamino, C<sub>1</sub>-C<sub>4</sub> alkylamino or di-C<sub>1</sub>-C<sub>4</sub> alkylamino,

R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl or phenyl-C<sub>1</sub>-C<sub>4</sub> alkyl, and

R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, cycloalkyl-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkanoyloxy-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, hydroxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxypyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S-oxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, S,S-dioxythiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl,

carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub>  
 alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl,  
 hydroxypiperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub>  
 alkoxy piperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>  
 alkoxy piperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub>  
 alkyl, hydroxypyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub>  
 alkoxy pyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>  
 alkoxy pyrrolidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub>  
 alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub>  
 alkanoylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub>  
 alkoxy carbonylpiperazinocarbonyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub>  
 alkylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub>  
 alkyl, C<sub>1</sub>-C<sub>4</sub> alkylmorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl,  
 thiomorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, S-oxythiomorpholinocarbonyl-  
 C<sub>1</sub>-C<sub>4</sub> alkyl, S,S-dioxythiomorpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl,  
 carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub>  
 alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, cyano-C<sub>1</sub>-C<sub>4</sub> alkyl, dicarboxy-C<sub>1</sub>-C<sub>4</sub>  
 alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl(carboxy)-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub>  
 alkoxy carbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, dicarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl,  
 carbamoyl(carboxy)-C<sub>1</sub>-C<sub>4</sub> alkyl, di-(C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl)-C<sub>1</sub>-C<sub>4</sub>  
 alkyl, di-(di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl)-C<sub>1</sub>-C<sub>4</sub> alkyl, carboxy(hydroxy)-  
 C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonyl(hydroxy)-C<sub>1</sub>-C<sub>4</sub> alkyl,  
 carbamoyl(hydroxy)-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl(hydroxy)-C<sub>1</sub>-  
 C<sub>4</sub> alkyl or di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl(hydroxy)-C<sub>1</sub>-C<sub>4</sub> alkyl,  
 carboxycycloalkyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy carbonylcycloalkyl-C<sub>1</sub>-  
 C<sub>4</sub> alkyl, carbamoylcycloalkyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub>  
 alkylcarbamoylcycloalkyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub>  
 alkylcarbamoylcycloalkyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkane sulfonyl-C<sub>1</sub>-C<sub>4</sub>  
 alkyl, thiocarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N-C<sub>1</sub>-C<sub>4</sub> alkylthiocarbamoyl-C<sub>1</sub>-C<sub>4</sub>  
 alkyl or N,N-di-C<sub>1</sub>-C<sub>4</sub> alkylthiocarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, sulfamoyl-  
 C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylsulfamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl or di-C<sub>1</sub>-C<sub>4</sub>



alkylsulfamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, unsubstituted or oxo-substituted pyrrolidinyl, imidazolyl, benzimidazolyl, oxadiazolyl, pyridyl, oxopiperidinyl, dioxopiperidinyl, oxothiazolyl, oxo-oxazolinyl or quinolinyl, unsubstituted or oxo-substituted pyrrolidinyl-C<sub>1</sub>-C<sub>4</sub> alkyl, imidazolyl-C<sub>1</sub>-C<sub>4</sub> alkyl, benzimidazolyl-C<sub>1</sub>-C<sub>4</sub> alkyl, oxadiazolyl-C<sub>1</sub>-C<sub>4</sub> alkyl, pyridyl-C<sub>1</sub>-C<sub>4</sub> alkyl, oxopiperidinyl-C<sub>1</sub>-C<sub>4</sub> alkyl, dioxopiperidinyl-C<sub>1</sub>-C<sub>4</sub> alkyl, oxothiazolyl-C<sub>1</sub>-C<sub>4</sub> alkyl, oxo-oxazolinyl-C<sub>1</sub>-C<sub>4</sub> alkyl or quinolinyl-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl or unsubstituted or N-C<sub>1</sub>-C<sub>4</sub> alkanoylated piperidyl-C<sub>1</sub>-C<sub>4</sub> alkyl or unsubstituted or N-C<sub>1</sub>-C<sub>4</sub> alkanoylated piperidyl,

or a pharmaceutically acceptable salt thereof.

Claim 29. (original) A method according to claim 25, wherein

R<sub>1</sub> is a 2-R<sub>A</sub>-3-R<sub>B</sub>-phenyl radical, a 2-R<sub>A</sub>-4-R<sub>C</sub>-phenyl radical, a 2-R<sub>A</sub>-pyridin-3-yl radical, a 3-R<sub>A</sub>-pyridin-2-yl radical or a 1-R<sub>D</sub>-indol-3-yl radical, wherein

one of the radicals R<sub>A</sub> and R<sub>B</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkanoylpiperidinyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-carbonylpiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylmorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, amino-C<sub>1</sub>-C<sub>7</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, hydroxy, C<sub>1</sub>-C<sub>7</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkenyloxy, amino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy,

carbamoyl or carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, and the other is hydrogen, C<sub>1</sub>-C<sub>4</sub> alkyl, such as methyl, hydroxy or C<sub>1</sub>-C<sub>4</sub> alkoxy,

R<sub>C</sub> is hydrogen, hydroxy, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, amino-C<sub>1</sub>-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkanoylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, carboxy, carbamoyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy or tetrazolyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, and

R<sub>D</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, or C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl,

one of the radicals X<sub>1</sub> and X<sub>2</sub> is carbonyl and the other is methylene,

R<sub>2</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl,

R<sub>3</sub> is amino or C<sub>1</sub>-C<sub>4</sub> alkanoylamino,

R<sub>4</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, and

R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkoxycarbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl or N'-C<sub>1</sub>-C<sub>7</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub>

alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, piperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, or morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl,

or a pharmaceutically acceptable salt thereof.

Claim 30. (original) A method according to claim 23, wherein

R<sub>1</sub> is a 2-R<sub>A</sub>-4-R<sub>C</sub>-phenyl radical, a 2-R<sub>A</sub>-pyridin-3-yl radical or a 3-R<sub>A</sub>-pyridin-2-yl radical, wherein

R<sub>A</sub> is C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>7</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkenyloxy, C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, amino-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy or carbamoyl, and

R<sub>C</sub> is hydrogen, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkyl, piperidino-C<sub>1</sub>-C<sub>4</sub> alkyl, pyrrolidino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkanoylpiperazino-C<sub>1</sub>-C<sub>7</sub> alkyl, or C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkoxy, morpholino-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy, piperidino-C<sub>1</sub>-C<sub>4</sub> alkoxy, carboxy, carbamoyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl, carboxy-C<sub>1</sub>-C<sub>4</sub> alkoxy, di-C<sub>1</sub>-C<sub>4</sub> alkylamino-C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkoxy or tetrazolyl-C<sub>1</sub>-C<sub>7</sub> alkoxy,

X<sub>1</sub> is carbonyl and X<sub>2</sub> is methylene,

R<sub>2</sub> and R<sub>4</sub> are each independently of the other C<sub>1</sub>-C<sub>4</sub> alkyl,

R<sub>3</sub> is amino and

R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl, morpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, thiomorpholino-C<sub>1</sub>-C<sub>4</sub> alkyl, morpholinocarbonyl-C<sub>1</sub>-C<sub>4</sub> alkyl, carbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, di-C<sub>1</sub>-C<sub>4</sub> alkylcarbamoyl-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub> alkylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl, N'-C<sub>1</sub>-C<sub>4</sub>

alkoxycarbonylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl or N'-C<sub>1</sub>-C<sub>7</sub>  
alkanoylpiperazino-C<sub>1</sub>-C<sub>4</sub> alkyl,  
or a pharmaceutically acceptable salt thereof.